```
     (FILE 'HOME' ENTERED AT 10:32:49 ON 08 APR 2004)

      FILE 'REGISTRY' ENTERED AT 10:32:59 ON 08 APR 2004
L1                STRUCTURE UPLOADED
L2              4 S L1 SSS SAM
L3             92 S L1 SSS FULL

      FILE 'CAPLUS, MEDLINE, USPATFULL' ENTERED AT 10:45:15 ON 08 APR 2004
L4            109 S L3
L5             67 S L4 AND (NUCLEOSIDE OR FURANOSE OR DIOXOLANE OR NUCLEOTIDE)
L6             16 S L5 AND DRUG

=>
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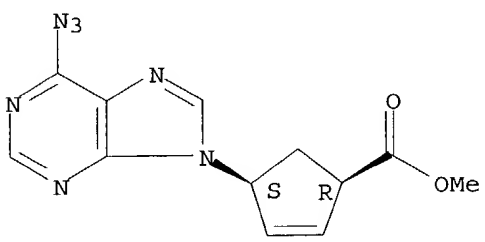
L6 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:312344 CAPLUS
DOCUMENT NUMBER: 139:53235
TITLE: Metal Coordination-Based Inhibitors of Adenylyl Cyclase: Novel Potent P-Site Antagonists
AUTHOR(S): Levy, Daniel E.; Bao, Ming; Cherbavaz, Diana B.; Tomlinson, James E.; Sedlock, David M.; Homcy, Charles J.; Scarborough, Robert M.
CORPORATE SOURCE: Departments of Medicinal Chemistry and Biology, Millennium Pharmaceuticals, Inc., South San Francisco, CA, 94080, USA
SOURCE: Journal of Medicinal Chemistry (2003), 46(11), 2177-2186
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The adenylyl cyclases (ACs) are a family of intracellular enzymes associated with signal transduction by virtue of their ability to convert ATP to cAMP. The catalytic mechanism of this transformation proceeds through initial binding of ATP to the so-called purine binding site (P-site) of the enzyme followed by metal-mediated cyclization with loss of pyrophosphate. Crystallog. anal. of ACs with known inhibitors reveals the presence of two metals in the active site. Presently, nine isoforms of adenylyl cyclase are known, and unique isoform combinations are expressed in a tissue-specific manner. The development of isoform-specific inhibitors of adenylyl cyclase may prove to be a useful strategy toward the design of unique signal transduction inhibitors. To develop novel AC inhibitors, we have chosen an approach to inhibitor design utilizing an adenine ring system joined to a metal-coordinating hydroxamic acid via various linkers. Previous work in our group has validated this approach and identified novel inhibitors that possess an adenine ring joined to a metal-coordinating hydroxamic acid through flexible acyclic linkers (Levy, D. E., et al. Bioorg. Med. Chemical Lett. 2002, 12, 3085-3088). Subsequent studies have focused on the introduction of conformational restrictions into the tether of the inhibitors with the goal of increasing potency (Levy, D. E., et al. Bioorg. Med. Chemical Lett. 2002, 12, 3089-3092). Building upon the favorable spatial positioning of the adenine and hydroxamate groups coupled with potentially favorable entropic factors, the unit joining the carbocycle to the hydroxamate was explored further and a stereochem.-based SAR was elucidated, leading to a new series of highly potent AC inhibitors.

IT **426226-37-1P 426226-38-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and SAR of hydroxamic acid-based carbocyclic **nucleoside** analogs as potent adenylyl cyclase inhibitors)

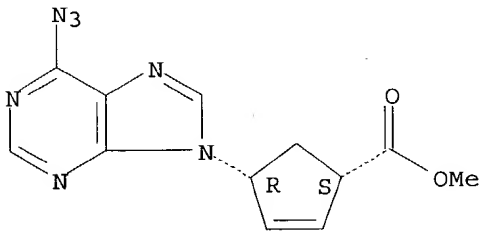
RN 426226-37-1 CAPLUS
CN 2-Cyclopentene-1-carboxylic acid, 4-(6-azido-9H-purin-9-yl)-, methyl ester, (1R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 426226-38-2 CAPLUS
CN 2-Cyclopentene-1-carboxylic acid, 4-(6-azido-9H-purin-9-yl)-, methyl ester, (1S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



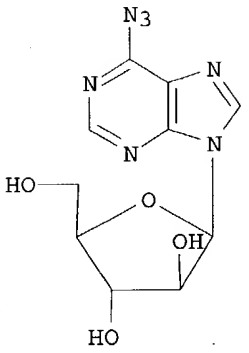
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:293852 CAPLUS
DOCUMENT NUMBER: 126:277725
TITLE: Preparation of azide **nucleosides** and their pharmacokinetics studies in mice
INVENTOR(S): Chu, Chung K.; Kotra, Lakshimi; Manouilov, Kostantin; Du, Jinfa; Schinazi, Raymond
PATENT ASSIGNEE(S): University of Georgia Research Foundation, Inc., USA; Emory University; Chu, Chung K.; Kotra, Lakshimi; Manouilov, Kostantin; Du, Jinfa; Schinazi, Raymond
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9709052	A1	19970313	WO 1996-US14494	19960906
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI				
AU 9671076	A1	19970327	AU 1996-71076	19960906
AU 709345	B2	19990826		
EP 852499	A1	19980715	EP 1996-932197	19960906
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
JP 11512397	T2	19991026	JP 1996-511450	19960906
BR 9610120	A	19991221	BR 1996-10120	19960906
US 6271212	B1	20010807	US 1998-33996	19980303
US 2001036930	A1	20011101	US 2001-849870	20010504

PRIORITY APPLN. INFO.:
US 1995-3383P P 19950907
WO 1996-US14494 W 19960906
US 1998-33996 A3 19980303

GI



I

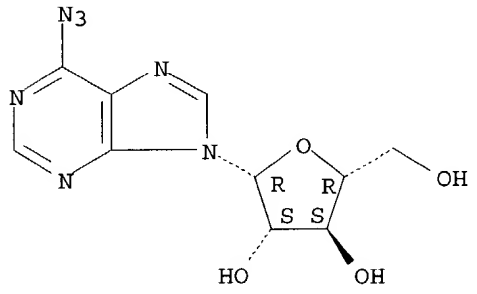
AB Pharmaceutical prodrug compns. are provided comprising azide derivs. of **drugs** which are capable of being converted to the **drug** in vivo. Azide derivs. of **drugs** having amine, ketone and hydroxy substituents are converted in vivo to the corresponding **drugs**, increasing the half-life of the **drugs**. In addition azide prodrugs are often better able to penetrate the blood-brain barrier than the corresponding **drugs**. Especially useful are azide derivs. of cordycepin, 2'-F-ara-ddI, Ara-A, acyclovir, penciclovir and related **drugs**. Useful azide prodrugs are azide derivs. of therapeutic alicyclic amines, ketones, and hydroxy-substituted compds., including aralkyl, heterocyclic aralkyl, and cyclic aliphatic compds., where the amine or oxygen moiety is on the ring, or where the amine or oxygen moiety is on an aliphatic side chain, as well as therapeutic purines and pyrimidines, **nucleoside** analogs and phosphorylated **nucleoside** analogs. Thus, azido **nucleoside** I was prepared from arabinoadenosine in 5 steps using adenosine deaminase. Biotransformation of I in liver homogenate of mice was studied (Kel = 0.14 h-1). Pharmacokinetics parameters in mice of I via i.v. administration after dosing of 100 mg/kg of I (AUC = 201±17.9 mg.h/L in serum and 4.42±0.37 mg.h/L in brain).

IT **185535-77-7P**
RL: BAC (Biological activity or effector, except adverse); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azide **nucleosides** and their pharmacokinetics studies in mice)

RN 185535-77-7 CAPLUS

CN 9H-Purine, 9-β-D-arabinofuranosyl-6-azido- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



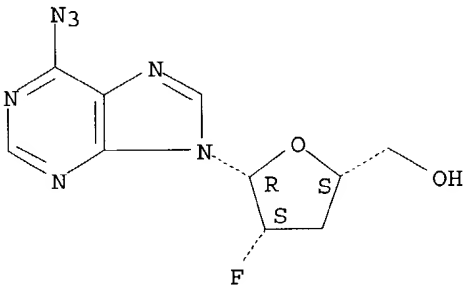
IT **184103-98-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of azide **nucleosides** and their pharmacokinetics studies in mice)

RN 184103-98-8 CAPLUS

CN 9H-Purine, 6-azido-9-(2,3-dideoxy-2-fluoro-β-D-threo-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



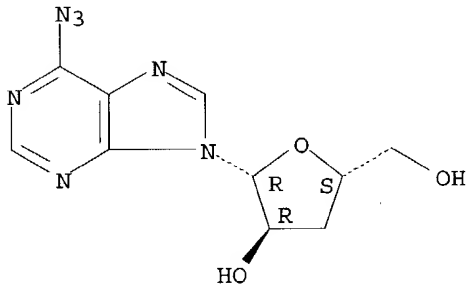
IT **188882-99-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azide **nucleosides** and their pharmacokinetics studies in mice)

RN 188882-99-7 CAPLUS

CN 9H-Purine, 6-azido-9-(3-deoxy-β-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:713056 CAPLUS

DOCUMENT NUMBER: 126:84016

TITLE: Synthesis, Biotransformation, and Pharmacokinetic Studies of 9-(β-D-Arabinofuranosyl)-6-azidopurine: A Prodrug for Ara-A Designed To Utilize the Azide Reduction Pathway

AUTHOR(S): Kotra, Lakshmi P.; Manouilov, Konstantine K.; Cretton-Scott, Erica; Sommadossi, Jean-Pierre; Boudinot, F. Douglas; Schinazi, Raymond F.; Chu, Chung K.

CORPORATE SOURCE: College of Pharmacy, University of Georgia, Athens, GA, 30602-2352, USA

SOURCE: Journal of Medicinal Chemistry (1996), 39(26), 5202-5207

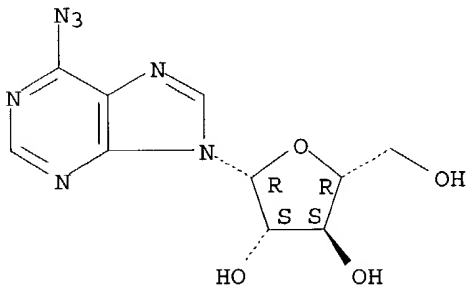
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 126:84016

AB As a part of the authors efforts to design prodrugs for antiviral **nucleosides**, 9-(β-D-arabinofuranosyl)-6-azidopurine (6-AAP) was synthesized as a prodrug for ara-A that utilizes the azide reduction biotransformation pathway. 6-AAP was synthesized from ara-A via its 6-chloro analog. The bioconversion of the prodrug was investigated in vitro and in vivo, and the pharmacokinetic parameters were determined For in vitro studies, 6-AAP was incubated in mouse serum and liver and brain homogenates. The half-lives of 6-AAP in serum and liver and brain homogenates were 1.70, 4.90, and 7.29 h, resp. 6-AAP was metabolized primarily in the liver homogenate microsomal fraction by the reduction of the azido moiety to the amine, yielding ara-A. However, 6-AAP was stable to adenosine deaminase in a sep. in vitro study. The in vivo metabolism and disposition of ara-A and 6-AAP were conducted in mice. When 6-AAP was administered by either oral or i.v. route, the half-life of ara-A was 7-14 times higher than for ara-A administered i.v. Ara-A could not be found in the brain after the i.v. administration of ara-A. However, after 6-AAP administration (by either oral or i.v. route), significant levels of ara-A were found in the brain. The results of this study demonstrate that 6-AAP is converted to ara-A, potentially increasing the half-life and the brain delivery of ara-A. Further studies to utilize the azide reduction approach on other clin. useful agents containing an amino group are in progress in the authors labs.

IT **185535-77-7P**
RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(synthesis and biotransformation and pharmacokinetic studies of (β-D-arabinofuranosyl)azidopurine as prodrug for ara-A designed to utilize azide reduction pathway in relation to brain penetration)

RN 185535-77-7 CAPLUS
CN 9H-Purine, 9-β-D-arabinofuranosyl-6-azido- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:628617 CAPLUS
DOCUMENT NUMBER: 126:297
TITLE: In Vitro and in Vivo Evaluation of 6-Azido-2',3'-dideoxy-2'-fluoro-β-D-arabinofuranosylpurine and N6-Methyl-2',3'-dideoxy-2'-fluoro-β-D-arabinofuranosyladenine as Prodrugs of the Anti-HIV **Nucleosides** 2'-F-ara-ddA and 2'-F-ara-ddI
AUTHOR(S): Koudriakova, Tanya; Manouilov, Konstantine K.; Shanmuganathan, Kirupa; Kotra, Lakshmi P.; Boudinot,

F. Douglas; Cretton-Scott, Erica; Sommadossi, Jean-Pierre; Schinazi, Raymond F.; Chu, Chung K.

CORPORATE SOURCE: College of Pharmacy, University of Georgia, Athens, GA, 30602-2352, USA

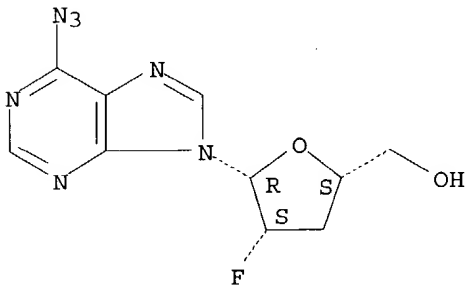
SOURCE: Journal of Medicinal Chemistry (1996), 39(23), 4676-4681
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB In an effort to improve the pharmacokinetic properties and tissue distribution of 2'-F-ara-ddI, two lipophilic prodrugs, 6-azido-2',3'-dideoxy-2'-fluoro-β-D-arabinofuranosylpurine (FAAddP) and N6-methyl-2',3'-dideoxy-2'-fluoro-β-D-arabinofuranosyladenine (FMAddA), were synthesized and their biotransformation was investigated in vitro and in vivo, in mice. For the in vitro studies, FAAddP and FMAddA were incubated in mouse serum, liver homogenate, and brain homogenate. FAAddP was metabolized in liver homogenate by the reduction of the azido to the amino moiety followed by deamination, yielding 2'-F-ara-ddI. The conversion of FAAddP to 2'-F-ara-ddA was mediated by microsomal P 450 NADPH reductase system, as shown by the liver microsomal assay. FAAddP was also converted to 2'-F-ara-ddI at a slower rate in the brain than in the liver. FMAddA, however, was stable in brain homogenate and was slowly metabolized in the liver homogenate. Metabolic conversion of FMAddA in vitro was stimulated by the addition of adenosine deaminase. In the in vivo metabolism study, FAAddP underwent reduction to 2'-F-ara-ddA followed by deamination to 2'-F-ara-ddI. FMAddA did not result in increased brain delivery of 2'-F-ara-ddI in vivo, probably due to the slow conversion as observed in the in vitro studies. However, there was an increase in the half-life of 2'-F-ara-ddI produced from FMAddA. This report is the first example in the design of prodrugs using the azido group for adenine- and hypoxanthine-containing **nucleosides**. This interesting and novel approach can be extended to other antiviral and anticancer **nucleosides**.

IT **184103-98-8P**
RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(pharmacokinetics of azido prodrugs of the anti-HIV adenine and hypoxanthine **nucleosides**)

RN 184103-98-8 CAPLUS
CN 9H-Purine, 6-azido-9-(2,3-dideoxy-2-fluoro-β-D-threo-pentofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 5 OF 16 USPATFULL on STN
ACCESSION NUMBER: 2002:133887 USPATFULL
TITLE: Adenine based inhibitors of adenylyl cyclase, pharmaceutical compositions, and method of use thereof

INVENTOR(S): Levy, Daniel, San Carlos, CA, UNITED STATES
Marlowe, Charles, Redwood City, CA, UNITED STATES
Kane-Maguire, Kim, Belmont, CA, UNITED STATES
Scarborough, Robert M., Half Moon Bay, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002068745	A1	20020606
APPLICATION INFO.:	US 2001-989348	A1	20011120 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-249465P	20001120 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Carmen Pili Ekstrom, COR Therapeutics, Inc., 256 E. Grand Avenue, South San Francisco, CA, 94080
NUMBER OF CLAIMS: 23
EXEMPLARY CLAIM: 1
LINE COUNT: 2213
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

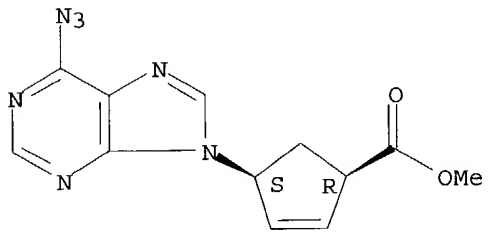
AB The present invention relates to derivatives and analogues of adenine, which inhibit adenylyl cyclase activity. The present invention also relates to a method of preventing and inhibiting a patient's fibroproliferative vasculopathy following vascular injury or a vascular surgical operation which includes administering to the patient, an effective amount of a compound according to the invention subsequent to a vascular injury, or subsequent to a vascular surgical operation, for one to two weeks after the injury or surgical operation, effective to treat or prevent a patient's fibroproliferative vasculopathy such as chronic allograft rejection or vascular restenosis following vascular trauma. The present invention also relates to a method for measuring the inhibition of adenylyl cyclase activity and a method for treating congestive heart failure.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **426226-37-1P 426226-38-2P**
(preparation of adenine based carbocyclic nucleosides as inhibitors of adenylyl cyclase and for treatment of patient's fibroproliferative vasculopathy)

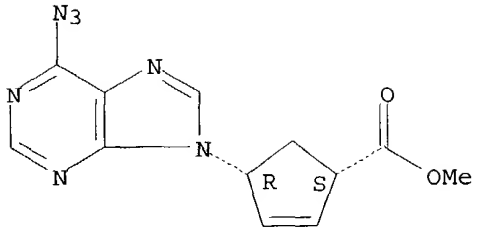
RN 426226-37-1 USPATFULL
CN 2-Cyclopentene-1-carboxylic acid, 4-(6-azido-9H-purin-9-yl)-, methyl ester, (1R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 426226-38-2 USPATFULL
CN 2-Cyclopentene-1-carboxylic acid, 4-(6-azido-9H-purin-9-yl)-, methyl ester, (1S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 6 OF 16 USPATFULL on STN

ACCESSION NUMBER:	2002:45614 USPATFULL
TITLE:	2-hydroxymethylcyclopropylidenemethylpurines and -pyrimidines as antiviral agents
INVENTOR(S):	Zemlicka, Jiri, Warren, MI, United States Qiu, Yao-Ling, Detroit, MI, United States Drach, John C., Ann Arbor, MI, United States Ptak, Roger G., New Market, MD, United States
PATENT ASSIGNEE(S):	Wayne State University, United States (U.S. corporation) The Regents of the University of Michigan, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6352991	B1	20020305
APPLICATION INFO.:	US 1999-267839		19990312 (9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. WO 1998-US440, filed on 7 Jan 1998		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-35826P	19970108 (60)
	US 1997-45676P	19970506 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	GRANTED
PRIMARY EXAMINER:	Berch, Mark L
LEGAL REPRESENTATIVE:	Lahive & Cockfield, LLP, Smith, Esq., DeAnn F.
NUMBER OF CLAIMS:	17
EXEMPLARY CLAIM:	1,2
NUMBER OF DRAWINGS:	7 Drawing Figure(s); 5 Drawing Page(s)
LINE COUNT:	2213

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds which are active against viruses have the following Formulas: ##STR1##

wherein B is a purine or pyrimidine heterocyclic ring and is preferably selected from the group consisting of 6-aminopurine (adenine), 2,6-diaminopurine, 2-amino-6-azidopurine, 2-amino-6-cyclopropylaminopurine, 6-hydroxypurine (hypoxanthine), 2-amino-6-halo substituted purines, 2-amino-6-alkoxy substituted purines, 2-amino-6-hydroxypurine (guanine), 3-deazapurines, 7-deaza-purines, 8-azapurines, cytosine, 5-halo substituted cytosines, 5-alkyl substituted cytosines, thymine, uracil and 6-azapyrimidines; X is O; and, R.sub.1 and R.sub.2 are alkyl or aryl groups. The compounds of the present invention also include the R- and S-enantiomers of the above compounds. The R.sub.1X and/or R.sub.2X can also be amino acid residues with X as NH.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **292825-45-7P**

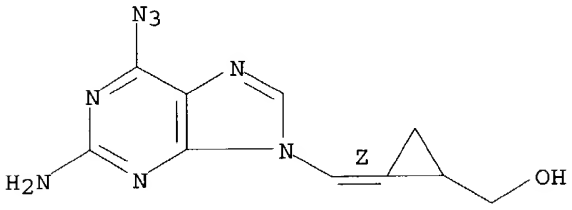
(preparation of antiviral agents hydroxymethylcyclopropylidenemethylpurines

and -pyrimidines via derivatization of bromomethylbromocyclopropane carboxylates)

RN 292825-45-7 USPATFULL

CN Cyclopropanemethanol, 2-[(2-amino-6-azido-9H-purin-9-yl)methylene]-, (2Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



L6 ANSWER 7 OF 16 USPATFULL on STN

ACCESSION NUMBER: 2001:194415 USPATFULL

TITLE: Therapeutic azide compounds

INVENTOR(S): Chu, Chung K., Athens, GA, United States
Kotra, Lakshmi P., Detroit, MI, United States
Manouilov, Konstantine K., Omaha, NE, United States
Du, Jinfa, Irvine, CA, United States
Schinazi, Raymond, Decatur, GA, United States

PATENT ASSIGNEE(S): University of Georgia Research Foundation, Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001036930	A1	20011101
APPLICATION INFO.:	US 2001-849870	A1	20010504 (9)

RELATED APPLN. INFO.: Division of Ser. No. US 1998-33996, filed on 3 Mar 1998, GRANTED, Pat. No. US 6271212 Continuation of Ser. No. WO 1996-US14494, filed on 6 Sep 1996, UNKNOWN

	NUMBER	DATE
PRIORITY INFORMATION:	US 1995-3383P	19950907 (60)

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Henry D. Coleman, Coleman Sudol Sapone, PC, 14th Floor, 708 Third Avenue, New York, NY, 10017

NUMBER OF CLAIMS: 23

EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 7 Drawing Page(s)

LINE COUNT: 1760

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Pharmaceutical prodrug compositions are provided comprising azide derivatives of **drugs** which are capable of being converted to the **drug** in vivo. Azide derivatives of **drugs** having amine, ketone and hydroxy substituents are converted in vivo to the corresponding **drugs**, increasing the half-life of the **drugs**. In addition azide prodrugs are often better able to penetrate the blood-brain barrier than the corresponding **drugs**. Especially useful are azide derivatives of cordycepin, 2'-F-ara-ddI, AraA, acyclovir, penciclovir and related **drugs**. Useful azide prodrugs are azide derivatives of therapeutic alicyclic amines, ketones, and hydroxy-substituted compounds, including aralkyl, heterocyclic aralkyl, and cyclic aliphatic compounds, where the amine or oxygen moiety is on the ring, or where the amine or oxygen moiety is on an aliphatic side chain, as well as therapeutic purines and pyrimidines,

nucleoside analogs and phosphorylated **nucleoside** analogs.

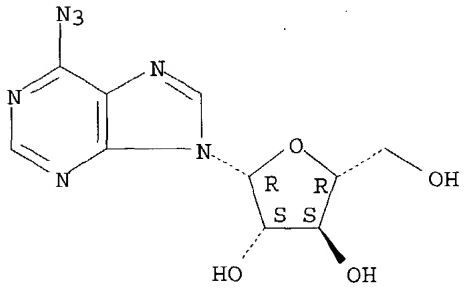
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **185535-77-7P**
(preparation of azide nucleosides and their pharmacokinetics studies in mice)

RN 185535-77-7 USPATFULL

CN 9H-Purine, 9-β-D-arabinofuranosyl-6-azido- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

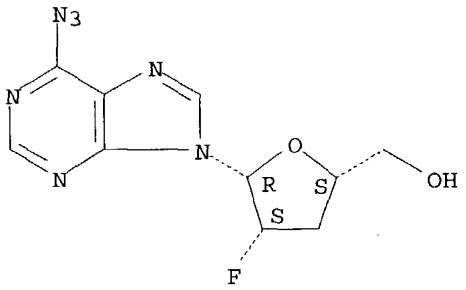


IT **184103-98-8P**
(preparation of azide nucleosides and their pharmacokinetics studies in mice)

RN 184103-98-8 USPATFULL

CN 9H-Purine, 6-azido-9-(2,3-dideoxy-2-fluoro-β-D-threo-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

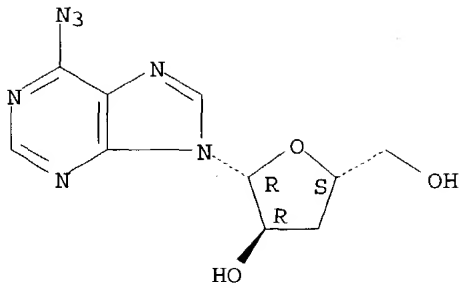


IT **188882-99-7P**
(preparation of azide nucleosides and their pharmacokinetics studies in mice)

RN 188882-99-7 USPATFULL

CN 9H-Purine, 6-azido-9-(3-deoxy-β-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 8 OF 16 USPATFULL on STN

ACCESSION NUMBER:	2001:125975 USPATFULL
TITLE:	Prodrug azide compositions and compounds
INVENTOR(S):	Chu, Chung K., Athens, GA, United States Kotra, Lakshimi, Detroit, MI, United States Manouilov, Kostantine K., Omaha, NE, United States Du, Jinfa, Irvine, CA, United States Schinazi, Raymond, Decatur, GA, United States
PATENT ASSIGNEE(S):	University of Georgia Research Foundation Inc., Atlanta, GA, United States (U.S. corporation) Emory University, Atlanta, GA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6271212	B1	20010807
APPLICATION INFO.:	US 1998-33996		19980303 (9)
RELATED APPLN. INFO.:	Continuation of Ser. No. WO 1996-US14494, filed on 6 Sep 1996		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1995-3383P	19950907 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	GRANTED
PRIMARY EXAMINER:	Geist, Gary
ASSISTANT EXAMINER:	Crane, L Eric
LEGAL REPRESENTATIVE:	Coleman, Henry D., Sudol, R. Neil, Sapone, William J.
NUMBER OF CLAIMS:	6
EXEMPLARY CLAIM:	1,6
NUMBER OF DRAWINGS:	12 Drawing Figure(s); 7 Drawing Page(s)
LINE COUNT:	1959

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Pharmaceutical prodrug compositions are provided comprising azide derivatives of **drugs** which are capable of being converted to the **drug** in vivo. Azide derivatives of **drugs** having amine, ketone and hydroxy substituents are converted in vivo to the corresponding **drugs**, increasing the half-life of the **drugs**. In addition azide prodrugs are often better able to penetrate the blood-brain barrier than the corresponding **drugs**. Especially useful are azide derivatives of cordycepin, 2'-F-ara-ddI, AraA, acyclovir, penciclovir and related **drugs**. Useful azide prodrugs are azide derivatives of therapeutic alicyclic amines, ketones, and hydroxy-substituted compounds, including aralkyl, heterocyclic aralkyl, and cyclic aliphatic compounds, where the amine or oxygen moiety is on the ring, or where the amine or oxygen moiety is on an aliphatic side chain, as well as therapeutic purines and pyrimidines, **nucleoside** analogs and phosphorylated **nucleoside** analogs.

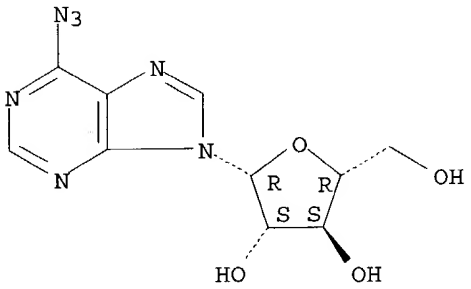
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **185535-77-7P**
(preparation of azide nucleosides and their pharmacokinetics studies in mice)

RN 185535-77-7 USPATFULL

CN 9H-Purine, 9-β-D-arabinofuranosyl-6-azido- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

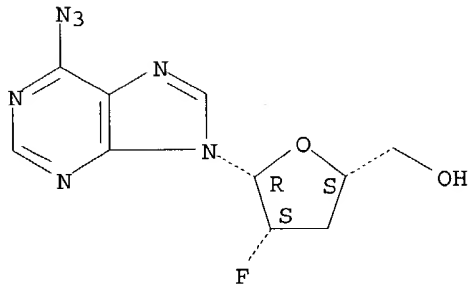


IT **184103-98-8P**
(preparation of azide nucleosides and their pharmacokinetics studies in mice)

RN 184103-98-8 USPATFULL

CN 9H-Purine, 6-azido-9-(2,3-dideoxy-2-fluoro-β-D-threo-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

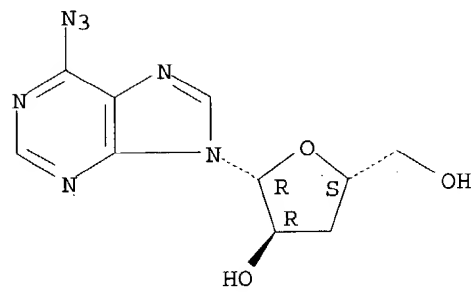


IT **188882-99-7P**
(preparation of azide nucleosides and their pharmacokinetics studies in mice)

RN 188882-99-7 USPATFULL

CN 9H-Purine, 6-azido-9-(3-deoxy-β-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

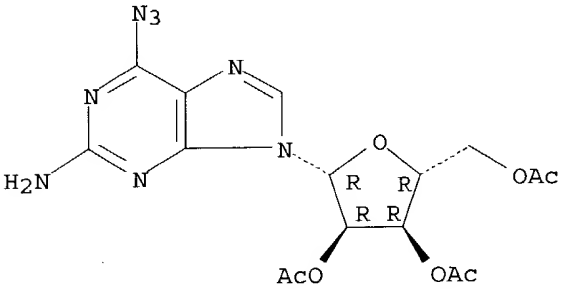
Absolute stereochemistry.



concomitantly and in any sequence.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **79999-42-1P**
(preparation of fludarabine from guanosine)
RN 79999-42-1 USPATFULL
CN 9H-Purin-2-amine, 6-azido-9-(2,3,5-tri-O-acetyl-β-D-ribofuranosyl)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



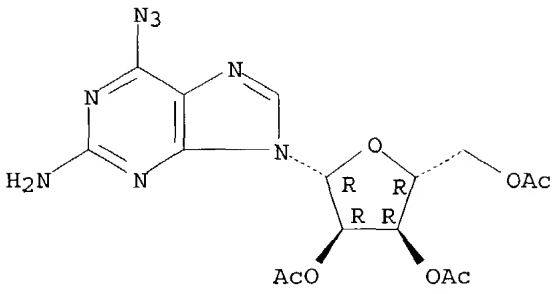
L6 ANSWER 11 OF 16 USPATFULL on STN
ACCESSION NUMBER: 97:12582 USPATFULL
TITLE: Process for the preparation of fludarabine or fludarabine phosphate from guanosine
INVENTOR(S): Bauman, John G., Alameda, CA, United States
Wirsching, Randolph C., Livermore, CA, United States
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Berlin, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5602246		19970211	
APPLICATION INFO.:	US 1992-981114		19921125	(7)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Kunz, Gary L.
LEGAL REPRESENTATIVE: Millen, White, Zelano & Branigan, P.C.
NUMBER OF CLAIMS: 34
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 7 Drawing Figure(s); 7 Drawing Page(s)
LINE COUNT: 2492
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A process for the production of fludarabine or fludarabine phosphate is provided, wherein the **nucleoside** guanosine or a suitable derivative is employed as the starting material. The guanosine starting material is subjected to (a) conversion of the 6-keto group into a 6-amino group, (b) conversion of the 2-amino group to a 2-fluoro group, and (c) conversion of the ribofuranosyl moiety to an arabinofuranosyl moiety. Steps (a), (b), and (c) can be performed individually or concomitantly and in any sequence.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **79999-42-1P**
(preparation of fludarabine from guanosine)
RN 79999-42-1 USPATFULL
CN 9H-Purin-2-amine, 6-azido-9-(2,3,5-tri-O-acetyl-β-D-ribofuranosyl)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 12 OF 16 USPATFULL on STN

ACCESSION NUMBER:	95:105963 USPATFULL
TITLE:	Uncharged polynucleotide-binding polymers
INVENTOR(S):	Summerton, James, Corvallis, OR, United States Weller, Dwight, Corvallis, OR, United States Stirchak, Eugene, Corvallis, OR, United States
PATENT ASSIGNEE(S):	Neu-Gene Development Group, Corvallis, OR, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5470974		19951128
APPLICATION INFO.:	US 1994-202664		19940225 (8)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1992-880883, filed on 8 May 1992, now abandoned which is a division of Ser. No. US 1987-100033, filed on 23 Sep 1987, now patented, Pat. No. US 5142047 which is a continuation-in-part of Ser. No. US 1985-712396, filed on 15 Mar 1985, now abandoned And a continuation-in-part of Ser. No. US 1986-911258, filed on 24 Sep 1986, now abandoned And a continuation-in-part of Ser. No. US 1986-944707, filed on 18 Dec 1986, now patented, Pat. No. US 5217866

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Lee, Mary C.
ASSISTANT EXAMINER:	McKane, Joseph K.
LEGAL REPRESENTATIVE:	Fabian, Gary R., Dehlinger, Peter J.
NUMBER OF CLAIMS:	2
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	29 Drawing Figure(s); 6 Drawing Page(s)
LINE COUNT:	2173

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A composition of polymer molecules effective to bind, with substantially uniform binding affinity, to a single-stranded polynucleotide containing a target sequence of bases. The polymer molecules are composed of a sequence of base-pairing moieties effective to hydrogen bond to corresponding, complementary bases in the target sequence, under selected binding conditions, and a predominantly uncharged, achiral backbone supporting the base-pairing moieties at positions and in orientations which allow hydrogen bonding between the pairing moieties of the polymer and the corresponding complementary bases in the target sequence. The composition has diagnostic uses, in a solid-support assay system, and therapeutic uses involving inhibition or inactivation of target polynucleotides.

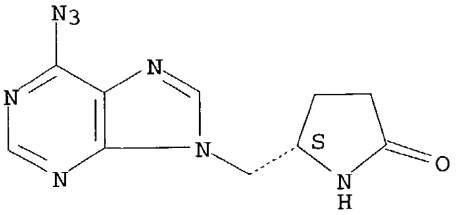
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **109205-53-0P**
(preparation of, in polynucleotide-binding polymer synthesis)

RN 109205-53-0 USPATFULL

CN 2-Pyrrolidinone, 5-[(6-azido-9H-purin-9-yl)methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 13 OF 16 USPATFULL on STN

ACCESSION NUMBER:	93:5484 USPATFULL
TITLE:	6-azido-2-fluoropurine, useful in the synthesis of **nucleosides**
INVENTOR(S):	Bauman, John G., Alameda, CA, United States Wirsching, Randolph C., Livermore, CA, United States
PATENT ASSIGNEE(S):	Berlex Biosciences Inc., Alameda, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5180824		19930119	
APPLICATION INFO.:	US 1990-620236		19901129	(7)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Rivers, Diana
LEGAL REPRESENTATIVE:	Millen, White, Zelano and Branigan
NUMBER OF CLAIMS:	16
EXEMPLARY CLAIM:	1,3
LINE COUNT:	447

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention pertains to novel methods of synthesizing fludarabine, fludarabine phosphate and related **nucleoside** pharmacologic agents utilizing 6-azido-2-fluoropurine as a novel intermediate.

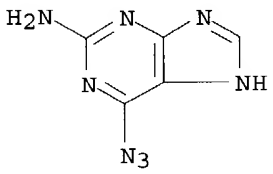
In particular this invention pertains to a synthesis of fludarabine where the relatively low yield fluorination step is done before the costly coupling step.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **10494-88-9P**, 2-Amino-6-azidopurine
(preparation, diazotization, and fluorination of)

RN 10494-88-9 USPATFULL

CN 1H-Purin-2-amine, 6-azido- (9CI) (CA INDEX NAME)



L6 ANSWER 14 OF 16 USPATFULL on STN

ACCESSION NUMBER:	92:70435 USPATFULL
TITLE:	Uncharged polynucleotide-binding polymers

INVENTOR(S): Summerton, James, Corvallis, OR, United States
Weller, Dwight, Corvallis, OR, United States
Stirchak, Eugene, Corvallis, OR, United States
PATENT ASSIGNEE(S): Anti-Gene Development Group, Corvallis, OR, United States (U.S. corporation)

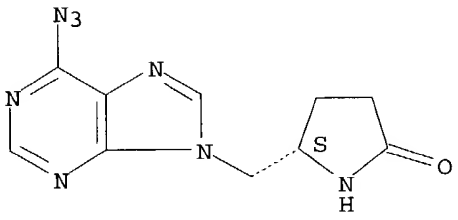
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5142047		19920825
APPLICATION INFO.:	US 1987-100033		19870923 (7)

DISCLAIMER DATE: 20080723
RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1985-712396, filed on 15 Mar 1985, now abandoned And a continuation-in-part of Ser. No. US 1986-911258, filed on 24 Sep 1986, now abandoned And a continuation-in-part of Ser. No. US 1986-944707, filed on 18 Dec 1986
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Lee, Mary C.
ASSISTANT EXAMINER: McKane, Joseph K.
LEGAL REPRESENTATIVE: Fabian, Gary R., Dehlinger, Peter J.
NUMBER OF CLAIMS: 2
EXEMPLARY CLAIM: 1
LINE COUNT: 2053
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A composition of polymer molecules effective to bind, with substantially uniform binding affinity, to a single-stranded polynucleotide containing a target sequence of bases. The polymer molecules are composed of a sequence of base-pairing moieties effective to hydrogen bond to corresponding, complementary bases in the target sequence, under selected binding conditions, and a predominantly uncharged, achiral backbone supporting the base-pairing moieties at positions and in orientations which allow hydrogen bonding between the pairing moieties of the polymer and the corresponding complementary bases in the target sequence. The composition has diagnostic uses, in a solid-support assay system, and therapeutic uses involving inhibition or inactivation of target polynucleotides.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **109205-53-0P**
(preparation of, in polynucleotide-binding polymer synthesis)
RN 109205-53-0 USPATFULL
CN 2-Pyrrolidinone, 5-[(6-azido-9H-purin-9-yl)methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 15 OF 16 USPATFULL on STN
ACCESSION NUMBER: 88:72479 USPATFULL
TITLE: Process for preparing griseolic acid derivatives
INVENTOR(S): Kaneko, Masakatsu, Hiromachi, Japan
Kimura, Misako, Hiromachi, Japan

	Murofushi, Yoshinobu, Hiromachi, Japan
PATENT ASSIGNEE(S):	Sankyo Company Limited, Tokyo, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4783532		19881108
APPLICATION INFO.:	US 1986-856586		19860425 (6)

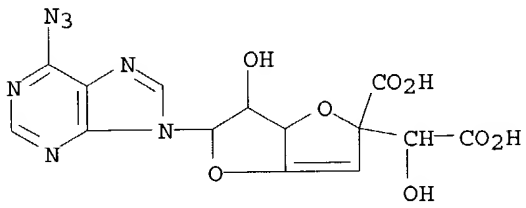
RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1984-664866, filed on 25 Oct 1984, now patented, Pat. No. US 4634706, issued on 6 Jan 1987

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1983-202362	19831028
	JP 1985-91989	19850427

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Rizzo, Nicholas S.
LEGAL REPRESENTATIVE: Frishauf, Holtz, Goodman & Woodward
NUMBER OF CLAIMS: 26
EXEMPLARY CLAIM: 1
LINE COUNT: 1056
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Griseolic acid and dihydrodesoxygriseolic acid derivatives having an alkyl or aralkyl group as a substituent on the amino group at the 6-position are prepared by reacting the unsubstituted compound with a compound R.sup.7 -X (where R.sup.7 is alkyl or aralkyl and X is halogen or sulfonyloxy). The group first substitutes and quaternizes the 1-nitrogen atom. The compound is then subjected to an appropriate combination of temperature and pH to cause ring cleavage, rearrangement and ring closure involving the 6-amino group and this quaternized 1-nitrogen to give a 6-alkylamino or 6-aralkylamino derivative.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **98889-92-0P**
(preparation of)
RN 98889-92-0 USPATFULL
CN α-L-talo-Oct-4-enofuranuronic acid, 3,6-anhydro-1-(6-azido-9H-purin-9-yl)-6-C-carboxy-1,5-dideoxy- (9CI) (CA INDEX NAME)



L6 ANSWER 16 OF 16 USPATFULL on STN

ACCESSION NUMBER:	87:1327 USPATFULL
TITLE:	Griseolic acid derivatives, and their use as enzyme inhibitors
INVENTOR(S):	Kaneko, Masakatsu, Tokyo, Japan Kimura, Misako, Tokyo, Japan Murofushi, Yoshinobu, Tokyo, Japan Yamazaki, Mitsuo, Tokyo, Japan Iwata, Nobuyoshi, Tokyo, Japan Nakagawa, Fumio, Tokyo, Japan
PATENT ASSIGNEE(S):	Sankyo Company Limited, Tokyo, Japan (non-U.S.

corporation)

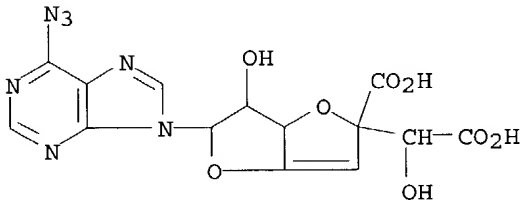
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 4634706		19870106	
APPLICATION INFO.:	US 1984-664866		19841025	(6)

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1983-202362	19831028

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Daus, Donald G.
ASSISTANT EXAMINER: Kapner, Stephen M.
LEGAL REPRESENTATIVE: Frishauf, Holtz, Goodman & Woodward
NUMBER OF CLAIMS: 38
EXEMPLARY CLAIM: 1,7
LINE COUNT: 5261
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Griseolic acid derivatives of formula (I): ##STR1## wherein A represents: ##STR2## have enzyme-inhibitory activity, especially against cAMP PDE and cGMP PDE. When formulated as compositions with appropriate carriers or diluents, they may be used for the treatment of a variety of organic disorders and show toxicities less than griseolic acid itself.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **98889-92-0P**
(preparation of)
RN 98889-92-0 USPATFULL
CN α-L-talo-Oct-4-enofuranuronic acid, 3,6-anhydro-1-(6-azido-9H-purin-9-yl)-6-C-carboxy-1,5-dideoxy- (9CI) (CA INDEX NAME)



=>

L6 ANSWER 9 OF 16 USPATFULL on STN

ACCESSION NUMBER: 1998:33919 USPATFULL

TITLE: N-(3-fluoro-2-phosphonylmethoxypropyl) derivatives of purine and pyrimidine heterocyclic bases, their preparation and use

INVENTOR(S): Holy , Antonin, Horni Pocernice, Czechoslovakia
Jindrich, Jindrich, Praha, Czechoslovakia
De Clercq, Erik, Parklaan, Belgium
Balzarini, Jan, Egenhoven, Belgium

PATENT ASSIGNEE(S): Institute of Organic Chemistry and Biochemistry of the Academy of Sciences of the Czech Republic, Czech Republic (non-U.S. corporation)
Rega Stichting v.z.w., Belgium (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5733896		19980331
APPLICATION INFO.:	US 1994-210255		19940318 (8)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1993-29368, filed on 10 Mar 1993, now abandoned which is a continuation of Ser. No. US 1991-685866, filed on 16 Apr 1991, now abandoned

	NUMBER	DATE
PRIORITY INFORMATION:	CS 1990-2047	19900424

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Berch, Mark L.
LEGAL REPRESENTATIVE: Hensley, Max D.
NUMBER OF CLAIMS: 16
EXEMPLARY CLAIM: 1,2,4
LINE COUNT: 667
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB N-(3-Fluoro-2-phosphonylmethoxypropyl) derivatives of purine and pyrimidine heterocyclic bases, method of producing them and their use as active principles of **drugs**.

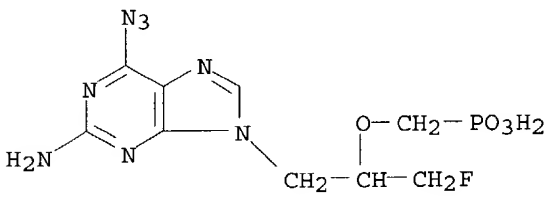
The invention relates to suppression of multiplication of viruses, particularly retroviruses, by application of the new compounds, N-(3-fluoro-2-phosphonylmethoxypropyl) derivatives of purine and pyrimidine heterocyclic bases. These compounds are obtained by the reaction of the N-(3-fluoro-2-hydroxypropyl) derivatives of purine and pyrimidine heterocyclic bases with diesters of p-toluenesulfonyloxymethylphosphonic acid in the presence of sodium hydride.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

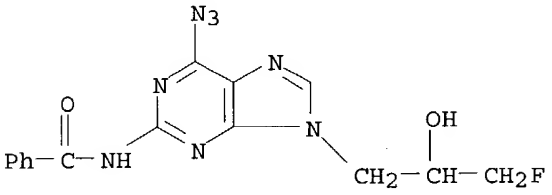
IT **138870-19-6P**
(preparation of, as antiviral agent)

RN 138870-19-6 USPATFULL

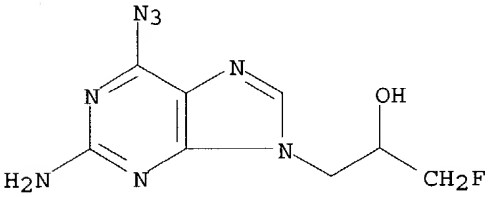
CN Phosphonic acid, [[2-(2-amino-6-azido-9H-purin-9-yl)-1-(fluoromethyl)ethoxy]methyl]- (9CI) (CA INDEX NAME)



IT **138870-26-5P**
(preparation of, as intermediate for antiviral agents)
RN 138870-26-5 USPATFULL
CN Benzamide, N-[6-azido-9-(3-fluoro-2-hydroxypropyl)-9H-purin-2-yl]- (9CI) (CA INDEX NAME)



IT **138870-31-2**
(reaction of, in preparation of antiviral agents)
RN 138870-31-2 USPATFULL
CN 9H-Purine-9-ethanol, 2-amino-6-azido-α-(fluoromethyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 10 OF 16 USPATFULL on STN

ACCESSION NUMBER:	97:84094 USPATFULL
TITLE:	Process for the preparation of fludarabine or fludarabine phosphate from guanosine
INVENTOR(S):	Bauman, John G., Alameda, CA, United States Wirsching, Randolph C., Livermore, CA, United States
PATENT ASSIGNEE(S):	Schering Aktiengesellschaft, Berlin, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5668270		19970916
APPLICATION INFO.:	US 1995-466524		19950606 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1992-981114, filed on 25 Nov 1992, now patented, Pat. No. US 5602246		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Kunz, Gary L.		
LEGAL REPRESENTATIVE:	Millen, White, Zelano, & Branigan, P.C.		
NUMBER OF CLAIMS:	13		
EXEMPLARY CLAIM:	9		
NUMBER OF DRAWINGS:	7 Drawing Figure(s); 7 Drawing Page(s)		
LINE COUNT:	2436		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A process for the production of fludarabine or fludarabine phosphate is provided, wherein the **nucleoside** guanosine or a suitable derivative is employed as the starting material. The guanosine starting material is subjected to (a) conversion of the 6-keto group into a 6-amino group, (b) conversion of the 2-amino group to a 2-fluoro group, and (c) conversion of the ribofuranosyl moiety to an arabinofuranosyl moiety. Steps (a), (b), and (c) can be performed individually or